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(54) Title: SYNTHESIS AND PURIFICATION OF VALACYCLOVIR

(57) Abstract: The present invention relates to protected valacyclovir, N-tert-butoxycarbonyl-L-valine 2-[(2-amino-1,6-dihydro-6-oxo-9H-purin-9-yl)methoxy] ethyl ester, and a method of making it. The present invention further relates to a method of making valacyclovir including the steps of coupling an amine protected valine selected from N-tbutoxycarbonyl valine and N-formyl valine with acyclovir using a coupling agent to form a protected valacyclovir, and deprotecting the protected valacyclovir to form valacyclovir or a pharmaceutically acceptable salt thereof. The present invention further relates to valacyclovir in pure form, a method of making pure valacyclovir, and to compositions containing pure valacyclovir.

INTERNATIONAL SEARCH REPORT

International application No.
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A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07D 473/18
US CL : 544/276

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
U.S. : 544/276

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAS Online

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 97/25989 (GLAXO GROUP LIMITED) 24 July 1997. See Page 8 lines 24-29)	22-23, 35-45
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A		1-21, 24-34
X	US, 6107302 A (CARTER et al) 22 August 2000. See col.6, lines 45-49	22-23,35-45
X	WO 98/03553 (Industriale Chimica S.R.L.) 29 January 1998 See page 11, Example 11	35-45
A	US 6218568 (DVORAK et al) 17 April 2001. See Reaction Scheme A and Column 7 lines 29-31	1-45

☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

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